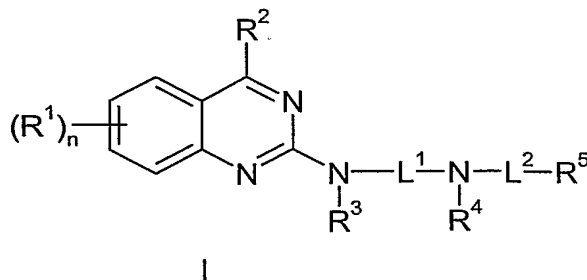


Claims

1. A compound of formula I



wherein  $R^1$  represents a) a  $C_{1-4}$  alkoxy group optionally substituted by one or more fluoro,

b) a  $C_{1-4}$  alkyl group optionally substituted by one or more fluoro, c) halo, d) cyano, e) a group  $NR^aR^b$  in which  $R^a$  and  $R^b$  independently represent H or a  $C_{1-4}$ alkyl group or  $R^a$  and  $R^b$  together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring optionally including an O atom f) a group  $CONR^cR^d$  in which  $R^c$  and  $R^d$  independently represent H or a  $C_{1-4}$ alkyl group or  $R^c$  and  $R^d$  together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring, or g) a group  $-OSO_2C_{1-4}alkyl$  optionally substituted by one or more fluoro;

$n$  represents 0, 1, 2 or 3 ;

$R^2$  represents H or cyano or a  $C_{1-4}$ alkyl group optionally substituted by one or more fluoro

or a  $C_{1-4}$ alkoxy group optionally substituted by one or more fluoro, a group  $NR^aR^b$  in which  $R^a$  and  $R^b$  independently represent H or a  $C_{1-4}$  alkyl group or  $R^a$  and  $R^b$  together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring optionally including an O, a group  $CONR^cR^d$  in which  $R^c$  and  $R^d$  independently represent H or a  $C_{1-4}$ alkyl group or  $R^c$  and  $R^d$  together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring;

$R^3$  represents H or a  $C_{1-4}$  alkyl group;

$L^1$  represents a  $(CH_2)_pC_{3-10}$  cycloalkyl group in which  $p$  is 0 or 1 and in which the cycloalkyl group may be monocyclic or bicyclic and optionally may be bridged provided that the two nitrogens bearing  $R^3$  and  $R^4$ , respectively, are not linked to the same carbon atom, and wherein one of the carbons may be replaced by O; with the proviso that  $L^1$  does not represent 1,3-cyclopentyl or 1,4-cyclohexyl;

$R^4$  represents H or a  $C_{1-4}$  alkyl group optionally substituted by one or more of the following: fluoro or  $C_{1-4}$  alkoxy optionally substituted by one or more fluoro;

$L^2$  represents an alkylene chain  $(CH_2)_s$  in which s represents 1, 2 or 3 wherein the alkylene chain is optionally substituted by one or more of the following: fluoro or  $C_{1-4}$  alkyl;

$L^2$  may also represent a 5-6 membered carbocyclic 5-6 membered ring fused to  $R^5$ ;

$R^5$  represents phenyl or naphthyl or a heterocyclic group selected from thienyl, furyl, pyridyl, pyrrolyl, quinolinyl, indolyl, benzofuranyl, benzo[b]thienyl, imidazolyl, benzimidazolyl, thiazolyl, thiadiazolyl, pyrimidinyl, pyrazolyl, oxazolyl, imidazo[1,2-

*a*]pyridinyl, 5*H*-pyrrolo[2,3-*b*]pyrazinyl, 1*H*-pyrrolo[3,2-*c*]pyridinyl, 1*H*-pyrrolo[2,3-*c*]pyridinyl, 1*H*-pyrrolo[2,3-*b*]pyridinyl, 1*H*-indazolyl, wherein each  $R^5$  is optionally substituted by one or more of the following: a) cyano, b) halo, c) a  $C_{1-4}$  alkyl group optionally substituted by one or more fluoro, d) a  $C_{1-4}$  alkoxy group optionally substituted by one or more fluoro, e) a group  $S(O)_aR^y$  in which a is 0, 1 or 2 and  $R^y$  is phenyl

optionally substituted by cyano, halo, a  $C_{1-4}$ alkyl group optionally substituted by one or more fluoro or a  $C_{1-4}$ alkoxy group optionally substituted by one or more fluoro, f) or by a group  $(CH_2)_zR^z$  in which z and w is 0 or 1 and  $R^z$  represents phenyl or a heterocyclic group selected from thienyl, pyridyl, thiazolyl, pyrazolyl, wherein each  $R^z$  is optionally substituted by one or more of the following: cyano, halo, a  $C_{1-4}$  alkyl group optionally substituted by one or more fluoro, or a  $C_{1-4}$ alkoxy group optionally substituted by one or more fluoro; as well as optical isomers and racemates thereof as well as pharmaceutically acceptable salts, thereof.

2. A compound as claimed in claim 1 in which

$R^1$  represents cyano or a  $C_{1-4}$  alkoxy group optionally substituted by one or more fluoro, a

$C_{1-4}$  alkyl group optionally substituted by one or more fluoro, halo, a group  $NR^aR^b$  in which  $R^a$  and  $R^b$  independently represent H or a  $C_{1-4}$ alkyl group or  $R^a$  and  $R^b$  together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring optionally including an O, a group  $CONR^cR^d$  in which  $R^c$  and  $R^d$  independently represent H or a  $C_{1-4}$ alkyl group or  $R^c$  and  $R^d$  together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring, n represents 0, 1, 2 or 3 ;

$R^2$  represents H or cyano or a  $C_{1-4}$ alkyl group optionally substituted by one or more fluoro or a  $C_{1-4}$ alkoxy group optionally substituted by one or more fluoro, a group  $NR^aR^b$  in which  $R^a$  and  $R^b$  independently represent H or a  $C_{1-4}$ alkyl group or  $R^a$  and  $R^b$  together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring optionally including an O, a group  $CONR^cR^d$  in which  $R^c$  and  $R^d$  independently represent H or a  $C_{1-4}$ alkyl group or  $R^c$  and  $R^d$  together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring;  $R^3$  represents H or a  $C_{1-4}$ alkyl group;

$L^1$  represents a  $(CH_2)_pC_{5-6}$  cycloalkyl group in which p is 0 or 1 and provided that there are 3 carbon atoms between the two nitrogens bearing  $R^3$  and  $R^4$ , respectively, wherein one of the carbons of the cycloalkyl group may be replaced by O;

$R^4$  represents H or a  $C_{1-4}$ alkyl group optionally substituted by one or more of the following: fluoro or  $C_{1-4}$ alkoxy optionally substituted by fluoro;

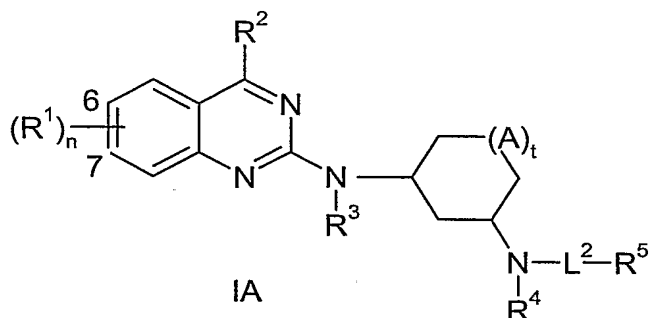
$L^2$  represents an alkylene chain  $(CH_2)_s$  in which s represents 1, 2 or 3 wherein the alkylene chain is optionally substituted by one or more of the following: fluoro or  $C_{1-4}$ alkyl;

$L^2$  may also represent a 5-6 membered carbocyclic 5-6 membered ring fused to  $R^5$ ;

$R^5$  represents aryl or a heterocyclic group selected from thienyl, furyl, pyridyl, pyrrolyl, quinoliny, indolyl, benzofuranyl, benzo[*b*]thienyl, imidazolyl, benzimidazolyl, thiazolyl, thiadiazolyl, pyrimidinyl, pyrazolyl, oxazolyl, imidazo[1,2-*a*]pyridine, 5*H*-pyrrolo[2,3-*b*]pyrazine, 1*H*-pyrrolo[3,2-*c*]pyridine, 1*H*-pyrrolo[2,3-*c*]pyridine, 1*H*-pyrrolo[2,3-*b*]pyridine, 1*H*-indazole each of which is optionally substituted by one or more of the following: cyano, halo, a  $C_{1-4}$ alkyl group optionally substituted by one or more fluoro, a  $C_{1-4}$ alkoxy group optionally substituted by one or more fluoro, or a group  $(CH_2)_zR^z$  in which z is 0 or 1 and  $R^z$  represents phenyl or a heterocyclic group selected from thienyl, pyridyl, thiazolyl, pyrazolyl, wherein each  $R^z$  is optionally substituted by one or more

cyano, halo, a  $C_{1-4}$ alkyl group optionally substituted by one or more fluoro, a  $C_{1-4}$ alkoxy group optionally substituted by one or more fluoro or by a group  $S(O)_aR^y$  in which a is 0, 1 or 2 and  $R^y$  is phenyl optionally substituted by cyano, halo, a  $C_{1-4}$ alkyl group optionally substituted by one or more fluoro or a  $C_{1-4}$ alkoxy group optionally substituted by one or more fluoro, as well as optical isomers and racemates thereof as well as pharmaceutically acceptable salts, thereof.

3. A compound according to claim 1 or claim 2 of formula IA



in which

$R^1$  represents chloro, fluoro, methoxy or a group  $NR^aR^b$  in which  $R^a$  and  $R^b$  independently represent a  $C_{1-4}$ alkyl group or  $R^a$  and  $R^b$  together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring optionally including an O;  $n$  represents 0 or 1, and when  $n=1$  the substituent is attached to either position 6 or 7

$R^2$  represents H or cyano or a  $C_{1-4}$ alkyl group, a  $C_{1-4}$ alkoxy group optionally substituted by one or more fluoro, a group  $NR^aR^b$  in which  $R^a$  and  $R^b$  independently represent H or a  $C_{1-4}$ alkyl group or  $R^a$  and  $R^b$  together with the nitrogen atom to which they are attached

represent a saturated 3 to 7 membered heterocyclic ring optionally including an O, a group  $CONR^cR^d$  in which  $R^c$  and  $R^d$  independently represent H or a  $C_{1-4}$ alkyl group or  $R^c$  and  $R^d$  together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring;

$m$  represents 0 or 1;  $R^3$  represents H;

A represents  $CH_2$  and  $t$  is 1;

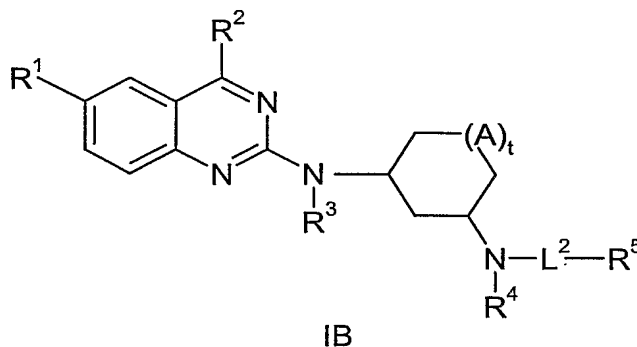
$R^4$  represents H;

$L^2$  represents  $CH_2$ ,  $C(CH_3)_2$  or  $CF_2$ ; and

$R^5$  represents aryl or a heterocyclic group selected from thienyl, furyl, pyridyl, pyrrolyl, quinolinyl, indolyl, benzofuranyl, benzo[*b*]thienyl, imidazolyl, benzimidazolyl, thiazolyl, thiadiazolyl, pyrimidinyl, pyrazolyl, oxazolyl, imidazo[1,2-*a*]pyridine, 5*H*-pyrrolo[2,3-*b*]pyrazine, 1*H*-pyrrolo[3,2-*c*]pyridine, 1*H*-pyrrolo[2,3-*c*]pyridine, 1*H*-pyrrolo[2,3-*b*]pyridine, 1*H*-indazole each of which is optionally substituted by one or more of the following: cyano, halo, a  $C_{1-4}$ alkyl group optionally substituted by one or more fluoro, a  $C_{1-4}$ alkoxy group optionally substituted by one or more fluoro, or by a group  $S(O)_aR^y$  in which  $a$  is 0, 1 or 2 and  $R^y$  is phenyl optionally substituted by cyano, halo, a  $C_{1-4}$ alkyl group optionally substituted by one or more fluoro or a  $C_{1-4}$ alkoxy group optionally

substituted by one or more fluoro, or a group  $(\text{CH}_2)_z\text{R}^z$  in which  $z$  is 0 or 1 and  $\text{R}^z$  represents phenyl or a heterocyclic group selected from thienyl, pyridyl, thiazolyl, pyrazolyl, wherein each  $\text{R}^z$  is optionally substituted by one or more cyano, halo, a  $\text{C}_{1-4}$  alkyl group optionally substituted by one or more fluoro, a  $\text{C}_{1-4}$ alkoxy group optionally substituted by one or more fluoro as well as optical isomers and racemates thereof as well as pharmaceutically acceptable salts thereof.

4. A compound according to any previous claim of formula IB



in which

$\text{R}^1$  represents H, cyano, methoxy, isopropoxy, dimethylamino, chloro or fluoro;

$\text{R}^2$  represents H, cyano, a  $\text{C}_{1-4}$ alkyl group optionally substituted by one or more fluoro or a  $\text{C}_{1-4}$  alkoxy group optionally substituted by one or more fluoro, a group  $\text{NR}^a\text{R}^b$  in which  $\text{R}^a$  and  $\text{R}^b$  independently represent H or a  $\text{C}_{1-4}$ alkyl group or  $\text{R}^a$  and  $\text{R}^b$  together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered

heterocyclic ring optionally including an O,  $\text{R}^3$  represents H;

A represents  $\text{CH}_2$  and  $t$  is 1;

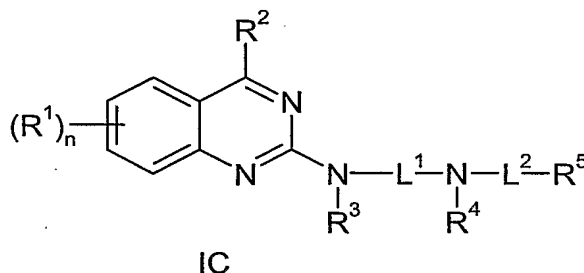
$\text{R}^4$  represents H;

$\text{L}^2$  represents  $\text{CH}_2$ ,  $\text{C}(\text{CH}_3)_2$  or  $\text{CF}_2$ ; and

$\text{R}^5$  represents aryl or a heterocyclic group selected from thienyl, furyl, pyridyl, pyrrolyl, quinolinyl, indolyl, benzofuranyl, benzo[*b*]thienyl, imidazolyl, benzimidazolyl, thiazolyl, thiadiazolyl, pyrimidinyl, pyrazolyl, oxazolyl, imidazo[1,2-*a*]pyridine, 5*H*-pyrrolo[2,3-*b*]pyrazine, 1*H*-pyrrolo[3,2-*c*]pyridine, 1*H*-pyrrolo[2,3-*c*]pyridine, 1*H*-pyrrolo[2,3-*b*]pyridine, 1*H*-indazole each of which is optionally substituted by one or more of the following: cyano, halo, a  $\text{C}_{1-4}$  alkyl group optionally substituted by one or more fluoro, a  $\text{C}_{1-4}$  alkoxy group optionally substituted by one or more fluoro, or by a group  $\text{S}(\text{O})_a\text{R}^y$  in which  $a$  is 0, 1 or 2 and  $\text{R}^y$  is phenyl optionally substituted by cyano, halo, a  $\text{C}_{1-4}$ alkyl

group optionally substituted by one or more fluoro or a C<sub>1-4</sub>alkoxy group optionally substituted by one or more fluoro, or a group (CH<sub>2</sub>)<sub>z</sub>R<sup>z</sup> in which z is 0 or 1 and R<sup>z</sup> represents phenyl or a heterocyclic group selected from thienyl, pyridyl, thiazolyl, pyrazolyl, wherein each R<sup>z</sup> is optionally substituted by one or more cyano, halo, a C<sub>1-4</sub> alkyl group optionally substituted by one or more fluoro, a C<sub>1-4</sub>alkoxy group optionally substituted by one or more fluoro as well as optical isomers and racemates thereof as well as pharmaceutically acceptable salts thereof.

5. A compound as claimed in claim 1 as represented by formula IC



in which R<sup>1</sup> represents cyano or a C<sub>1-4</sub>alkoxy group optionally substituted by one or more fluoro, a C<sub>1-4</sub>alkyl group optionally substituted by one or more fluoro, halo, a group NR<sup>a</sup>R<sup>b</sup> in which R<sup>a</sup> and R<sup>b</sup> independently represent H or a C<sub>1-4</sub>alkyl group or R<sup>a</sup> and R<sup>b</sup> together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring optionally including an O, a group CONR<sup>c</sup>R<sup>d</sup> in which R<sup>c</sup> and R<sup>d</sup> independently represent H or a C<sub>1-4</sub>alkyl group or R<sup>c</sup> and R<sup>d</sup> together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring, n represents 0, 1, 2 or 3 ;

R<sup>2</sup> represents H, cyano, a C<sub>1-4</sub>alkyl group optionally substituted by one or more fluoro or a C<sub>1-4</sub>alkoxy group optionally substituted by one or more fluoro, a group NR<sup>a</sup>R<sup>b</sup> in which R<sup>a</sup> and R<sup>b</sup> independently represent H or a C<sub>1-4</sub>alkyl group or R<sup>a</sup> and R<sup>b</sup> together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring optionally including an O, a group CONR<sup>c</sup>R<sup>d</sup> in which R<sup>c</sup> and R<sup>d</sup> independently represent H or a C<sub>1-4</sub>alkyl group or R<sup>c</sup> and R<sup>d</sup> together with the nitrogen atom to which they are attached represent a saturated 3 to 7 membered heterocyclic ring; R<sup>3</sup> represents H or a C<sub>1-4</sub>alkyl group;

$L^1$  represents a  $(CH_2)_pC_{7-10}$  cycloalkyl group in which  $p$  is 0 or 1 and in which the cycloalkyl group is fused bicyclic or bridged bicyclic provided that the two nitrogens bearing  $R^3$  and  $R^4$ , respectively, are not linked to the same carbon atom, and wherein one of the carbons may be replaced by O;

$L^4$  represents H or a  $C_{1-4}$  alkyl group optionally substituted by one or more of the following: fluoro or  $C_{1-4}$  alkoxy, optionally substituted by one or more fluoro;

$L^2$  represents an alkylene chain  $(CH_2)_s$  in which  $s$  represents 1, 2 or 3 wherein the alkylene chain is optionally substituted by one or more of the following: fluoro or  $C_{1-4}$  alkyl;

or  $L^2$  may also represent a 5-6 membered carbocyclic ring fused to  $R^5$ ;

$R^5$  represents aryl or a heterocyclic group selected from thienyl, furyl, pyridyl, pyrrolyl, quinolinyl, indolyl, benzofuranyl, benzo[*b*]thienyl, imidazolyl, benzimidazolyl, thiazolyl, thiadiazolyl, pyrimidinyl, pyrazolyl, oxazolyl, imidazo[1,2-*a*]pyridine, 5*H*-pyrrolo[2,3-*b*]pyrazine, 1*H*-pyrrolo[3,2-*c*]pyridine, 1*H*-pyrrolo[2,3-*c*]pyridine, 1*H*-pyrrolo[2,3-*b*]pyridine, 1*H*-indazole each of which is optionally substituted by one or more of the

following: cyano, halo, a  $C_{1-4}$  alkyl group optionally substituted by one or more fluoro, a  $C_{1-4}$  alkoxy group optionally substituted by one or more fluoro, or by a group  $S(O)_aR^y$  in which  $a$  is 0, 1 or 2 and  $R^y$  is phenyl optionally substituted by cyano, halo, a  $C_{1-4}$  alkyl group optionally substituted by one or more fluoro or a  $C_{1-4}$  alkoxy group optionally substituted by one or more fluoro, or a group  $(CH_2)_zR^z$  in which  $z$  is 0 or 1 and  $R^z$  represents phenyl or a heterocyclic group selected from thienyl, pyridyl, thiazolyl, pyrazolyl, wherein each  $R^z$  is optionally substituted by one or more cyano, halo, a  $C_{1-4}$  alkyl group optionally substituted by one or more fluoro, a  $C_{1-4}$  alkoxy group optionally substituted by one or more fluoro as well as optical isomers and racemates thereof as well as pharmaceutically acceptable salts thereof..

6. A compound as claimed in any one of claims 1 to 4 in which  $p$  is 0 and  $L^1$  is 1,3-cyclohexyl.

7. A compound as claimed in any one of claims 1 to 6 in which the two nitrogen atoms are in a trans orientation on the cycloalkyl ring.

8. A compound as claimed in claim 7 wherein the stereochemistry of the cycloalkyl carbon atoms to which the nitrogen atoms are attached is *S,S*.

9. One or more of the following compounds:

*N*-(4-methylquinazolin-2-yl)-*N'*-(3-thienylmethyl)-*trans*-cyclohexane-1,3-diamine;

*N*<sup>4</sup>,*N*<sup>4</sup>-dimethyl-*N*<sup>2</sup>-{-3-[(3-thienylmethyl)amino]-*trans*-cyclohexyl}quinazoline-2,4-diamine;

*N*<sup>2</sup>-{-3-[(1-benzothien-3-ylmethyl)amino]-*trans*-cyclohexyl}-*N*<sup>4</sup>,*N*<sup>4</sup>-dimethylquinazoline-2,4-diamine;

*N*<sup>4</sup>,*N*<sup>4</sup>-dimethyl-*N*<sup>2</sup>-{-3-[(1-methyl-1*H*-indol-3-yl)methyl]amino}-*trans*-cyclohexyl}quinazoline-2,4-diamine,

*N*<sup>4</sup>, *N*<sup>4</sup>-dimethyl-*N*<sup>2</sup>-{(1*S*,3*S*)-3-{[2-(trifluoromethoxy)benzyl]amino}cyclohexyl)-quinazoline-2,4-diamine;

*N*<sup>4</sup>, *N*<sup>4</sup>-dimethyl-*N*<sup>2</sup>-{(1*S*,3*S*)-3-{[6-(trifluoromethyl)pyridin-3-yl]methyl}amino)-cyclohexyl}quinazoline-2,4-diamine; and

*N*<sup>2</sup>-{(1*S*,3*S*)-3-[(3,4-dichlorobenzyl)amino]cyclohexyl}-*N*<sup>4</sup>,*N*<sup>4</sup>-dimethylquinazoline-2,4-diamine;

and pharmaceutically acceptable salts thereof.

10. A compound of formula I as claimed in any previous claim for use as a medicament.

11. A pharmaceutical formulation comprising a compound of formula I, as defined in any one of claims 1 to 9 and a pharmaceutically acceptable adjuvant, diluent or carrier.

12. Use of a compound of formula I, as defined in any one of claims 1 to 9 in the preparation of a medicament for the treatment or prophylaxis of conditions associated with obesity.

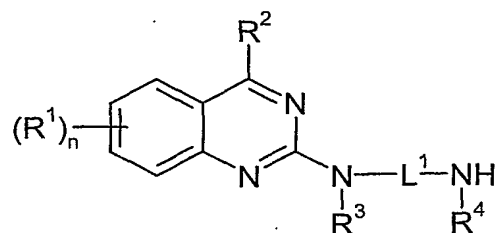
13. A method of treating obesity, psychiatric disorders, anxiety, anxio-depressive disorders, depression, bipolar disorder, ADHD, cognitive disorders, memory disorders, schizophrenia, epilepsy, and related conditions, and neurological disorders and pain related disorders, comprising administering a pharmacologically effective amount of a compound as claimed in any one of claims 1 to 9 to a patient in need thereof.

14. A compound as defined in any one of claims 1 to 9 for use in the treatment of obesity.

15. A process for the preparation of compounds of formula I as claimed in claim 1 comprising reacting a compound of formula II

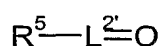


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II

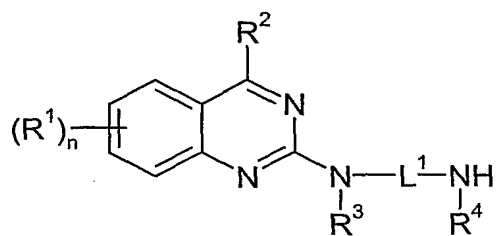
in which  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $L^1$ ,  $n$  and  $m$  are as previously defined in claim 1 with a compound of formula III



III

5 in which  $R^5$  is as previously defined and  $L^{2'}$  represents a group which after reaction of compounds II and III gives  $L^2$  on reduction, under reductive alkylation conditions.

16. Intermediates of formula II



II

10 in which  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $L^1$ ,  $n$  and  $m$  are as defined in claim 1.

17. A method of treating obesity, type II diabetes, Metabolic syndrome and prevention of type II diabetes comprising administering a pharmacologically effective amount of a compound as claimed in any one of claims 1 to 9 to a patient in need thereof.